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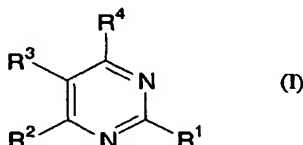
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- (71) Applicant (*for all designated States except US*): MERCK & CO., INC. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (*for US only*): KOPKA, Ihor, E. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). LI, Bing [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). HAGMANN, William, K. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
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(54) Title: SUBSTITUTED PYRIMIDINES



(57) Abstract: Novel compounds of the structural formula (I) are antagonists and/or inverse agonists of the Cannabinoid-1 (CB1) receptor and are useful in the treatment, prevention and suppression of diseases mediated by the CB1 receptor. The compounds of the present invention are useful as centrally acting drugs in the treatment of psychosis, memory deficits, cognitive disorders, migraine, neuropathy, neuro-inflammatory disorders including multiple sclerosis and Guillain-Barre syndrome and the inflammatory sequelae of viral encephalitis, cerebral vascular accidents, and head trauma, anxiety disorders, stress, epilepsy, Parkinson's disease, movement disorders, and schizophrenia. The compounds are also useful for the treatment of substance abuse disorders, the treatment of obesity or eating disorders, as well as the treatment of asthma, constipation, chronic intestinal pseudo-obstruction, and cirrhosis of the liver.

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UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (*regional*): ARIPO patent (GH, GM,
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European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,
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INTERNATIONAL SEARCH REPORT

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A. CLASSIFICATION OF SUBJECT MATTER

IPC(7) : C07D 239/28, 239/34, 239/36, 239/47, 239/48, 239/52, 239/58; A61K 31/505, 31/506; A61P 25/18, 25/28
US CL : 544/ 309, 310, 315, 316, 319, 320, 326; 514/ 256, 269, 275

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B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
U.S. : 544/ 309, 310, 315, 316, 319, 320, 326; 514/ 256, 269, 275

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
CAS ON LINE, EAST

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	US 5,684,011 A (FITZJOHN et al) 04 November 1997 (04.11.1997), see entire document especially formula I on column 1 and Table I for compounds made shown on column 8 through 12.	1-9
Y	US 2002/0004600 A1 (MEYER et al) 10 January 2002 (10.01.2002), see entire document.	1-9

☐ Further documents are listed in the continuation of Box C.

☐ See patent family annex.

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"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

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Authorized officer

Venkataraman Balasubramanian

Telephone No. (703)308-1235